## 1. A compound of the formula [I]:

$$\begin{array}{c|c}
 & \text{H}_{3}C \\
 & \text{C} \\
 & \text{R}_{5}
\end{array}$$

$$\begin{array}{c|c}
 & \text{N} \\
 & \text{C} \\
 & \text{COO} \\
\end{array}$$

$$\begin{array}{c|c}
 & \text{R}_{4}
\end{array}$$

wherein

5 R<sup>1</sup> is lower alkyl, hydroxy(lower)alkyl or halo(lower)alkyl, and

R<sup>2</sup> is hydrogen or amino protecting group, or

R<sup>1</sup> and R<sup>2</sup> are bonded together and form lower alkylene or lower alkenylene;

10  $R^3$  is hydrogen or lower alkyl;  $R^4$  is

$$-N^{-1}(A)_{k}(NH)_{m}(O)_{n}(R^{8})_{q}(CH_{2})_{p}^{-}R^{9}$$

wherein

A. is .

15

wherein X is O or NH,

R<sup>7</sup> is hydrogen, lower alkyl or amino protecting group,

R<sup>8</sup> is hydrogen or hydroxy,

20

R<sup>9</sup> is amino, mono or di(lower)alkylamino, protected amino, guanidino, protected guanidino or saturated 3- to 8-membered heterocyclic group containing 1 to 4 nitrogen atoms optionally substituted by amino or protected amino,

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k, m, n and q are independently 0 or 1, and

p is 0, 1, 2 or 3; .

R<sup>5</sup> is carboxy or protected carboxy; and

R<sup>6</sup> is amino or protected amino,

or a pharmaceutically acceptable salt thereof.

5

- 2. The compound of claim 1 wherein
- R1 is lower alkyl or hydroxy(lower)alkyl, and
- R<sup>2</sup> is hydrogen or amino protecting group, or
- R<sup>1</sup> and R<sup>2</sup> are bonded together and form lower alkylene;
- R<sup>3</sup> is hydrogen;

is



wherein X is O or NH;

R<sup>7</sup> is hydrogen or amino protecting group;

- R9 is amino or protected amino; and
  - p is 0, 1 or 2,
  - or a pharmaceutically acceptable salt thereof.
- The compound of claim 2 wherein R<sup>8</sup> is hydrogen, or a 20 pharmaceutically acceptable salt thereof.
  - The compound of claim 1 wherein
  - R<sup>1</sup> is lower alkyl, hydroxy(lower)alkyl or halo(lower)alkyl, and
- R<sup>2</sup> is hydrogen, aryl(lower)alkyl or acyl, or
  - ${\ensuremath{R}}^1$  and  ${\ensuremath{R}}^2$  are bonded together and form lower alkylene or lower alkenylene;
  - R<sup>5</sup> is carboxy or esterified carboxy;
  - R<sup>6</sup> is amino or acylamino;
- R<sup>7</sup> is hydrogen, lower alkyl or acyl; and
  - R<sup>9</sup> is amino, mono or di(lower)alkylamino, acylamino, guanidino, acylguanidino or saturated 3- to 8membered heterocyclic group containing 1 to 4 nitrogen atoms optionally substituted by amino or acylamino,
- 35
  - or a pharmaceutically acceptable salt thereof.

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The compound of claim 4 wherein
     R1 is lower alkyl or hydroxy(lower)alkyl, and
     R<sup>2</sup> is hydrogen, aryl(lower)alkyl or acyl, or
     R<sup>1</sup> and R<sup>2</sup> are bonded together and form lower alkylene;
     R<sup>5</sup> is carboxy or esterified carboxy;
     R<sup>6</sup> is amino or acylamino;
     R<sup>7</sup> is hydrogen or acyl; and
     R9 is amino or acylamino,
     or a pharmaceutically acceptable salt thereof.
10
          The compound of claim 5 wherein
     R1 is lower alkyl or hydroxy(lower)alkyl, and
     R<sup>2</sup> is hydrogen, aryl(lower)alkyl, lower alkanoyl or
           lower alkoxycarbonyl, or
    R^1 and R^2 are bonded together and form lower alkylene;
     R<sup>5</sup> is carboxy or lower alkoxycarbonyl;
     R<sup>6</sup> is amino, lower alkanoylamino or lower
           alkoxycarbonylamino;
     R<sup>7</sup> is hydrogen, lower alkanoyl or lower alkoxycarbonyl;
20
   and
    R9 is amino, lower alkanoylamino or lower
           alkoxycarbonylamino,
     or a pharmaceutically acceptable salt thereof.
25 7. The compound of claim 6 wherein
   R<sup>1</sup> is lower alkyl or hydroxy(lower)alkyl, and
    R<sup>2</sup> is hydrogen, or
   R^1 and R^2 are bonded together and form lower alkylene;
   R<sup>5</sup> is carboxy;
   R^6 is amino;
30
    R<sup>7</sup> is hydrogen or lower alkanoyl; and
    R<sup>9</sup> is amino,
    or a pharmaceutically acceptable salt thereof.
35 8.
          The compound of claim 1 wherein
    {\ensuremath{\mathsf{R}}}^4 is selected from the group consisting of
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 $-NH-A-(NH)_{\overline{m}}(CH_2)_{\overline{q}}(CH_2)_{\overline{p}}-R^{14}$ 

$$-NH - C - (NH)_{\overline{m}} O - (CH_{2})_{\overline{q}} (CH_{2})_{p} - R^{14}$$

$$-NH - C - CH - (CH_{2})_{p} - R^{14}$$

$$-N - (CH_{2})_{\overline{q}} (CH_{2})_{\overline{p}} - R^{14}$$

$$-NH - C - (NH)_{\overline{m}} (CH_{2})_{\overline{q}} (CH_{2})_{p} - R^{15}$$
 and
$$-NH - C - (NH)_{\overline{m}} R^{16}$$

wherein  $R^7$ , A, m, p and q are each as defined in claim 1,  $R^{14}$  is amino, mono or di(lower)alkylamino or protected amino,

R<sup>15</sup> is guanidino or protected guanidino, and
R<sup>16</sup> is saturated 3- to 8-membered heterocyclic group containing 1 to 4 nitrogen atoms optionally substituted by amino or protected amino, or a pharmaceutically acceptable salt thereof.

9. The compound of claim 1 wherein  $R^4$  is selected from the group consisting of

$$-NH - C - NH - (CH2)_{\overline{q}} (CH2)_{p} - R^{9}$$

$$-NH - C - (CH2)_{\overline{q}} (CH2)_{p} - R^{9}$$

$$-NH - C - NH - O - (CH2)_{\overline{q}} (CH2)_{p} - R^{9}$$

$$-NH - C - O - (CH2)_{\overline{q}} (CH2)_{p} - R^{9}$$

$$-NH-C-(CH2)_{\overline{q}}(CH2)_{\overline{p}}-R9$$
 and

$$-N-(CH2) - (CH2) - R9$$

wherein

5 p is 0, 1 or 2,

q is 0 or 1,

 $R^7$  is hydrogen or amino protecting group, and

R<sup>9</sup> is amino or protected amino,

or a pharmaceutically acceptable salt thereof.

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10. The compound of claim 9 wherein

 ${\ensuremath{\mathsf{R}}}^7$  is hydrogen, lower alkanoyl or lower alkoxycarbonyl; and

R<sup>9</sup> is amino, lower alkanoylamino or lower alkoxycarbonylamino,

or a pharmaceutically acceptable salt thereof.

11. The compound of claim 10 wherein

R<sup>7</sup> is hydrogen or lower alkanoyl; and

20 R $^9$  is amino,

or a pharmaceutically acceptable salt thereof.

12. A process for preparing a compound of the formula [I]:

$$\begin{array}{c|c}
 & H_3C \\
 & CH_3 \\
 & R^5 \\
 & N \\
 & C-CONH
 & CH_2 \\
 & N \\
 & R^4 \\
 & R^3
\end{array}$$
[1]

wherein

R<sup>1</sup> is lower alkyl, hydroxy(lower)alkyl or halo(lower)alkyl, and

R<sup>2</sup> is hydrogen or amino protecting group, or

5 R<sup>1</sup> and R<sup>2</sup> are bonded together and form lower alkylene or lower alkenylene;

R<sup>3</sup> is hydrogen or lower alkyl;

R4 is

15

20

wherein

Ais

wherein X is O or NH,

R<sup>7</sup> is hydrogen, lower alkyl or amino protecting group,

R<sup>8</sup> is hydrogen or hydroxy,

R<sup>9</sup> is amino, mono or di(lower)alkylamino, protected amino, guanidino, protected guanidino or saturated 3- to 8-membered heterocyclic group containing 1 to 4 nitrogen atoms optionally substituted by amino or protected amino,

k, m, n and q are independently 0 or 1, and p is 0, 1, 2 or 3;

 $R^5$  is carboxy or protected carboxy; and  $R^6$  is amino or protected amino, or a salt thereof, which comprises

(1) reacting a compound of the formula [II]:

$$\begin{array}{c|c} H_2N & & \\ \hline \\ COO \\ \hline \\ R_1 \\ R_2 \\ \end{array} \begin{array}{c} R^4 \\ R^3 \\ \end{array} \hspace{0.5cm} [II]$$

wherein  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are each as defined above, or its reactive derivative at the amino group, or a salt thereof with a compound of the formula [III]:

$$\begin{array}{c|c}
 & \text{H}_{3}\text{C} & \text{CH}_{3} \\
 & \text{O} & \text{R}^{5}
\end{array}$$

$$\begin{array}{c|c}
 & \text{N} & \text{[III]} \\
 & \text{N} & \text{C} & \text{COOH}
\end{array}$$

$$\begin{array}{c|c}
 & \text{R}^{6} & \text{S} & \text{N}
\end{array}$$

wherein  $R^5$  and  $R^6$  are each as defined above, or its reactive derivative at the carboxy group, or a salt thereof to give a compound of the formula [I]:

$$\begin{array}{c|c}
 & \text{H}_{3}\text{C} & \text{CH}_{3} \\
 & \text{O} & \text{R}^{5} \\
 & \text{N} & \text{C} & \text{CONH} & \text{S} \\
 & \text{R}^{6} & \text{S} & \text{N} & \text{COO} & \text{CH}_{2} & \text{N} & \text{N} \\
 & \text{R}^{1} & \text{R}^{2} & \text{R}^{3}
\end{array}$$
[I]

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wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$  and  $R^6$  are each as defined above, or a salt thereof, or

(2) subjecting a compound of the formula [Ia]:

$$\begin{array}{c|c}
 & H_3C \\
 & O \\
 & R^5
\end{array}$$

$$\begin{array}{c|c}
 & R^7 \\
 & N \\
 & C \\
 & N \\
 & C \\
 & N \\
 &$$

wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, A, k, m, n, p and q are each as defined above, and R<sup>9</sup>a is protected amino, protected guanidino or saturated 3- to 8-membered heterocyclic group containing 1 to 4 nitrogen atoms substituted by protected amino, or a salt thereof to elimination reaction of the amino protecting group to give a compound of the formula [Ib]:

- wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, A, k, m, n, p and q are each as defined above, and R<sup>9</sup>b is amino, guanidino or saturated 3- to 8-membered heterocyclic group containing 1 to 4 nitrogen atoms substituted by amino, or a salt thereof, or
- 15 (3) reacting a compound of the formula [VI]:

20

$$\begin{array}{c|c}
 & H_3C \\
 & CH_3 \\
 & R^5 \\
 & N \\
 & C \\
 & CONH \\
 & R^6
\end{array}$$

$$\begin{array}{c|c}
 & CH_3 \\
 & N \\
 & C \\
 & CONH \\
 & CH_2 \\
 & CH_2 \\
 & CH_2
\end{array}$$
[VI]

wherein  $R^5$  and  $R^6$  are each as defined above,  $R^{10}$  is protected carboxy, and Y is a leaving group, or a salt thereof with a compound of the formula [VII]:

$$\begin{array}{c|c}
 & R^4 \\
 & R^3 \\
 & R^1 \\
 & R^2
\end{array}$$
[VII]

wherein  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are each as defined above, or a salt thereof to give a compound of the formula [VIII]:

$$\begin{array}{c|c}
 & \text{H}_{3}C \\
 & \text{O} \\
 & \text{R}_{5}
\end{array}$$

$$\begin{array}{c|c}
 & \text{N} \\
 & \text{C} \\
 & \text{CONH}
\end{array}$$

$$\begin{array}{c|c}
 & \text{C} \\
 & \text{C}
\end{array}$$

$$\begin{array}{c|c}
 & \text{R}_{4}
\end{array}$$

$$\begin{array}{c|c}
 & \text{R}_{3}
\end{array}$$

$$\begin{array}{c|c}
 & \text{C}
\end{array}$$

$$\begin{array}{c|c}
 & \text{R}_{3}
\end{array}$$

$$\begin{array}{c|c}
 & \text{C}
\end{array}$$

$$\begin{array}{c|c}
 & \text{R}_{1}
\end{array}$$

$$\begin{array}{c|c}
 & \text{R}_{2}
\end{array}$$

$$\begin{array}{c|c}
 & \text{C}
\end{array}$$

wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$  and  $R^{10}$  are each as defined above, and  $Z^{\bigcirc}$  is an anion, or a salt thereof, and subjecting the compound of the formula [VIII] or a salt thereof to elimination reaction of the carboxy protecting group, to give a compound of the formula [I]:

wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$  and  $R^6$  are each as defined above, or a salt thereof.

- 13. A pharmaceutical composition comprising a compound of claim 1 or a pharmaceutically acceptable salt thereof in admixture with a pharmaceutically acceptable carrier.
- 15 14. A compound of claim 1 or a pharmaceutically acceptable salt thereof for use as a medicament.

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- 15. A compound of claim 1 or a pharmaceutically acceptable salt thereof for use as an antimicrobial agent.
- 16. Use of a compound of claim 1 or a pharmaceutically acceptable salt thereof for manufacture of a medicament for treating infectious diseases.

17. A method for the treatment of infectious diseases which comprising administering a compound of claim 1 or a pharmaceutically acceptable salt thereof to human or animals.